70. (New) The polymer of claim 69, comprising orthogonal functional groups, wherein the addition of the groups can be specified and controlled during manufacture to create a monodisperse product.

71. (New) The method of claim 69, wherein the initial bodily compartment is an extravascular site or an intravascular site.

72. (New) The method of claim 69, wherein the target bodily compartment is selected from the group consisting of circulation, central nervous system, brain, eye, and an intracellular environment.

73. (New) The method of claim 72, wherein the intracellular environment is within an epithelial cell, an endothelial cell, a phagocytic cell, a lymphocyte, a neuron, or a cancer cell.

74. (New) The method of claim 69, wherein the administering is parenterally, transmucosally or transdermally.

75. (New) The method of claim 74, wherein the transmucosally is orally, nasally, pulmonarily, vaginally or rectally.

76. (New) The method of claim 74, wherein the parenterally is intra-arterial, intravenous, intramuscular, intradermal, subcutaneous, intraperitoneal, intraventricular, intraocular, intraorbital, or intracranial.

77. (New) The method of claim 69, wherein the administering is orally.

78. (New) The method of claim 69, wherein the polymer is selected from the group consisting of linear or branched poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid

copolymer, amino acids, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer; or any combination thereof.

79. (New) The method of claim 69, wherein the polymer is linear or branched poly(ethyleneglycol).

80. (New) The method of claim 78, wherein the polymer has a molecular weight of about 200 to about 200,000 Daltons.

81. (New) The method of claim 80, wherein the polymer has a molecular weight of about 2,000 to about 50,000 Daltons.

82. (New) The method of claim 69, wherein the multiple functional groups are attached to said polymer at an interval.

83. (New) The method of claim 82, wherein the interval is about 100 to about 10,000 Daltons.

84. (New) The method of claim 83, wherein the interval is about 300 to about 5,000 Daltons.

85. (New) The method of claim 69, wherein the functional group comprises a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, or an amine.

86. (New) The method of claim 82, wherein the functional group is a thiol.

87. (New) The method of claim 69, wherein the multiple functional groups are derived from a thiol compound bound to said polymer.

88. (New) The method of claim 87, wherein the thiol compound is cysteamine, 1-amino-2-methyl-2-propanethiol, or 1-amino-2-propanethiol.

89. (New) The method of claim 69, wherein the therapeutic or diagnostic agent or cell uptake promoter comprises a functional group or is derivatized to comprise a functional group.

90. (New) The method of claim 69, wherein the cell uptake promoter is selected from the group consisting of a transporter, a receptor, and a binding or targeting ligand.

91. (New) The method of claim 69, wherein the cell uptake promoter is selected from the group consisting of a vitamin, a sugar, a chemokine, a peptide vector or a non-peptide vector, a retro inverso peptide, a membrane fusion peptide, a lipid, a sense oligonucleotide or an antisense oligonucleotide, an enzyme, an antibody or an antibody fragment, an antigen, a hormone, an adhesion molecule, and an analogue of the foregoing or any combination thereof.

92. (New) The method of claim 90, wherein the cell uptake promoter is a retro inverso protein or peptide, or a portion thereof.

93. (New) The method of claim 91, wherein the vitamin is selected from the group consisting of biotin, folate, pantothenate, B-6, and B-12.

94. (New) The method of claim 91, wherein the peptide vector or non-peptide vector is selected from the group consisting of Tat, fMLF, Penetratin, and VEGF.

95. (New) The method of claim 91, wherein the retro inverso peptide is RI TAT.

96. (New) The method of claim 91, wherein the sugar is glucose or N-acetyl glucosamine.

97. (New) The method of claim 91, wherein the chemokine is RANTES or IL-2.

98. (New) The method of claim 91, wherein the antibody or antibody fragment recognizes CD4 or CD44.

99. (New) The method of claim 91, wherein the membrane fusion peptide is gp41 or VEGF.

100. (New) The method of claim 91, wherein the hormone is selected from the group consisting of estrogen, progesterone, LHRH, ACTH and growth hormone.

101. (New) The method of claim 91, wherein the adhesion molecule is ICAM or a lectin.

102. (New) The method of claim 91, wherein the lipid or phospholipid is stearic acid or myristic acid.

103. (New) The method of claim 69, wherein the therapeutic or diagnostic agent is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

104. (New) The method of claim 103, wherein the therapeutic or diagnostic is a retro inverso protein or peptide, or a portion thereof.

105. (New) The method of claim 69, wherein the therapeutic or diagnostic agent comprises a thiol group or is derivatized to comprise a functional group.

106. (New) The method of claim 103, wherein the therapeutic or diagnostic agent or cell uptake promoter peptide comprises a Tat-inhibitory polypeptide, comprising an amino acid sequence of:
R-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-X-(biotin)-Cys-NH_2 (SEQ ID NO:1), and biologically and pharmaceutically acceptable salts thereof, stereo, optical and geometrical isomers thereof, including retro inverso analogues, where such isomers exist, as well as the pharmaceutically acceptable salts and solvates thereof, wherein R comprises the residue of a carboxylic acid or an acetyl group; and X is a Cys or Lys residue.

107. (New) The method of claim 104, wherein the therapeutic agent or uptake enhancer comprising a thiol compound comprises an amino acid sequence of:

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:2)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:3)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:4)

N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:5)

N-acetyl-Gln-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:6); or

N-acetyl-Arg-Lys-Lys-Arg-Arg-Pro-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:7).

N-acetyl-DCys-DLys-(biotin)-DArg-DArg-DArg-DGln-DArg-DArg-DLys-DLys-DArg-NH_2 (SEQ ID NO: 8) or biologically and pharmaceutically acceptable salts thereof.

108. (New) A transcompartmental delivery promoting composition comprising:

a) a polymer having multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent, and at least one cell uptake promoter covalently bound to said therapeutic or diagnostic agent; or

b) a polymer and at least one cell uptake promoter bound thereto; the polymer further comprising multiple functional groups at least one of which is covalently bound to a therapeutic or diagnostic agent.

109. (New) The composition of claim 108, wherein the polymer is selected from the group consisting of linear or branched poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, amino acids, a copolymer of polyethylene glycol and an amino acid, a

polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer, or any combination thereof.

110. (New) The composition of claim 108, wherein the polymer is linear or branched poly(ethylene glycol).

111. (New) The composition of claim 108, wherein the polymer has a molecular weight of about 200 to about 200,000 Daltons.

112. (New) The composition of claim 111, wherein the polymer has a molecular weight of about 2,000 to about 50,000 Daltons.

113. (New) The composition of claim 108, wherein the multiple functional groups are attached to said polymer at an interval.

114. (New) The composition of claim 113, wherein the interval is about 100 to about 10,000 Daltons.

115. (New) The composition of claim 114, wherein the interval is about 300 to about 5,000 Daltons.

116. (New) The composition of claim 108, wherein the functional group comprises a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, or an amine.

117. (New) The composition of claim 116, wherein the functional group is a thiol.

118. (New) The composition of claim 108, wherein the multiple functional groups are derived from a thiol compound bound to the polymer.

119. (New) The composition of claim 118, wherein the thiol compound is selected from the group consisting of cysteamine, 1-amino-2-methyl-2-propanethiol, and 1-amino-2-propanethiol.

120. (New) The composition of claim 108, wherein the therapeutic or diagnostic agent or cell uptake promoter comprises a functional group or is derivatized to comprise a functional group.

121. (New) The composition of claim 108, wherein the cell uptake promoter is selected from the group consisting of a transporter, a receptor, and a binding or targeting ligand.

122. (New) The composition of claim 108, wherein the cell uptake promoter is selected from the group consisting of a vitamin, a sugar, a chemokine, a peptide vector or a non-peptide vector, a retro inverso peptide, a membrane fusion peptide, a lipid, a sense oligonucleotide or an antisense nucleotide, an enzyme, an antibody or an antibody fragment, an antigen, a hormone, an adhesion molecule, and an analogue of the foregoing or any combination thereof.

123. (New) The composition of claim 122, wherein the vitamin is selected from the group consisting of biotin, folate, pantothenate, B-6, and B-12.

124. (New) The composition of claim 122, wherein the peptide vector or the non-peptide vector is selected from the group consisting of Tat, fMLF, Penetratin, and VEGF.

125. (New) The composition of claim 122, wherein the retroinverso peptide is RI TAT.

126. (New) The composition of claim 122, wherein the sugar is glucose or N-acetyl glucosamine.

127. (New) The composition of claim 122, wherein the chemokine is RANTES or IL-2.

128. (New) The composition of claim 122, wherein the antibody or the antibody fragment recognizes CD4 or CD44.

129. (New) The composition of claim 122, wherein the membrane fusion peptide is gp41 or VEGF.

130. (New) The composition of claim 122, wherein the hormone is selected from the group consisting of estrogen, progesterone, LHRH, ACTH and growth hormone.

131. (New) The composition of claim 122, wherein the adhesion molecule is ICAM or a lectin.

132. (New) The composition of claim 122, wherein the lipid or phospholipid is stearic acid or myristic acid.

133. (New) The composition of claim 108, wherein the therapeutic or diagnostic agent is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

134. (New) The composition of claim 133, wherein the therapeutic or diagnostic is a retroinverso protein or peptide, or a portion thereof.

135. (New) The composition of claim 133, wherein the therapeutic or diagnostic agent comprises a thiol group or is derivatized to have a thiol group.

136. (New) The composition of claim 108, wherein the therapeutic or diagnostic agent or cell uptake promoter comprises a Tat-inhibitory polypeptide, comprising an amino acid sequence of R-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-X-(biotin)-Cys-NH_2 (SEQ ID NO:1), and biologically and pharmaceutically acceptable salts thereof, stereo, optical and geometrical isomers thereof, including retro inverso analogues, where such isomers exist, as well as the pharmaceutically acceptable salts and solvates thereof, wherein R comprises the residue of a carboxylic acid or an acetyl group; and X is a Cys or Lys residue.

137. (New) The composition of claim 135, wherein the therapeutic agent or cell uptake promoter comprising a thiol compound comprises an amino acid sequence of:
N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:2)
N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:3)
N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:4)
N-acetyl-Arg-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:5)
N-acetyl-Gln-Lys-Lys-Arg-Arg-Gln-Arg-Arg-Arg-D-Lys-(biotin)-Cys-NH_2 (SEQ ID NO:6); or
N-acetyl-Arg-Lys-Lys-Arg-Arg-Pro-Arg-Arg-Arg-Cys-(biotin)-Cys-NH_2 (SEQ ID NO:7).
N-acetyl-DCys-DLys-(biotin)-DArg-DArg-DArg-DGln-DArg-DArg-DLys-DLys-DArg-NH_2 (SEQ ID NO:8) or biologically and pharmaceutically acceptable salts thereof.

138. (New) A compound of the general formula:
$(X)_o$-$(Y)_m$-$(linker)_n$
where X is one or more transporter, receptor, binding or targeting ligands, including retro inverso peptides, which may be identical or non-identical;
where Y is one or more of any therapeutic or diagnostic moieties, naturally occurring or artificial, including retro inverso peptides, which may be identical or non-identical;
where linker comprises polymer with functional groups and provides covalent bonds between linker and Y; and
m, n, and o may be any independently varying integers, or more specifically may each independently vary from 1 to about 100.

139. (New) The linker of claim 138, the linker having a linear or branched structure.

140. (New) The compound of claim 138, wherein the linker is selected from the group consisting of poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, amino acids, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer or any combination thereof.

141. (New) The compound of claim 138, wherein the linker is linear or branched poly(ethylene glycol).

142. (New) The compound of claim 140, wherein the linker has a molecular weight of about 200 to about 200,000 Daltons.

143. (New) The compound of claim 142, wherein the linker has a molecular weight of about 2,000 to about 50,000 Daltons.

144. (New) The linker of claim 138 comprising orthogonal functional groups, wherein the addition of the groups can be specified and controlled during manufacture to create a monodisperse product.

145. (New) The compound of claim 138, wherein X and Y are covalently bound to the linker by a functional moiety selected from the group consisting of a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, and an amine.

146. (New) The functional moiety of claim 145, wherein the covalent binding results in formation of reversible or irreversible bonds in compounds selected from the group consisting of ethers, schiff bases, esters, amides, disulfides, thioethers and carbamates.

147. (New) The linker of claim 138, wherein the attachment to a therapeutic or diagnostic moiety (Y) is through a reversible bond, whereby the original unmodified moiety can be released.

148. (New) The compound of claim 138, wherein the presence of the linker does not negatively affect the biochemical properties of X and/or Y.

149. (New) A method for oral delivery of a therapeutic or diagnostic agent to an animal, such as a mammal, including but not limited to a human, for the delivery of the protein or peptide for therapeutic or other purposes comprising administering to the mammal a compound of claim 138, wherein (Y) is the therapeutic or diagnostic agent.

150. (New) The compound of claim 138, wherein (Y) is the therapeutic or diagnostic agent, is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not limited to an organic molecule, a secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

151. (New) The compound of claim 138, wherein X is selected from the group consisting of a vitamin, a sugar, a chemokine, a peptide vector or a non-peptide vector, a retro inverso peptide, a membrane fusion peptide, a lipid, a sense oligonucleotide or an antisense nucleotide, an enzyme, an antibody or an antibody fragment, an antigen, a hormone, an adhesion molecule, and analogues of the foregoing.

152. (New) The compound of claim 151, wherein the vitamin is selected from the group consisting of biotin, folate, pantothenate, B-6, and B-12.

153. (New) The compound of claim 151, wherein the peptide vector or the non-peptide vector is selected from the group consisting of Tat, fMLF, Penetratin, and VEGF.

154. (New) The compound of claim 151, wherein the retro inverso peptide is RI TAT.

155. (New) The compound of claim 151, wherein the sugar is glucose or N-acetyl glucosamine.

156. (New) The compound of claim 151, wherein the chemokine is RANTES or IL-2.

157. (New) The compound of claim 151, wherein the antibody or antibody fragment recognizes CD4 or CD44.

158. (New) The compound of claim 151, wherein the membrane fusion peptide is gp41 or VEGF.

159. (New) The compound of claim 151, wherein the hormone is selected from the group consisting of estrogen, progesterone, LHRH, ACTH and growth hormone.

160. (New) The compound of claim 151, wherein the adhesion molecule is selected from the group consisting of ICAM or a lectin.

161. (New) The compound of claim 151, wherein the lipid or phospholipid is stearic acid or myristic acid.

162. (New) The compound of claim 138, wherein (X) is an ordinary or a retro inverso protein or peptide.

163. (New) The compound of claim 138, wherein (X) serves as a transport-enhancing moiety that increases drug delivery into cells expressing receptors for said (X).

164. (New) The compound of claim 162, wherein (X) is selected from the group consisting of RI-TAT, TAT-biotin, and RI-TAT-biotin.

165. (New) A method for identifying a suitable compound of claim 138 for therapeutic or diagnostic use without the components thereof negatively affecting the biological activity of Y, the method comprising preparing a compound as described in claim 138 and

screening the compound for biological activity of the therapeutic or diagnostic agent portion of the compound.

166. (New) A compound of the general formula:
$(Y)_m$-$(linker)_n$-$(X)_o$

where X is one or more transporter, receptor, binding or targeting ligands, including retro inverso peptides, which may be identical or non-identical;

where Y is one or more of any therapeutic or diagnostic moieties, naturally occurring or artificial, including retro inverso peptides, which may be identical or non-identical;

where linker comprises polymer with functional groups and provides covalent bonds between linker and X, and/or Y, or the combination thereof; and

m, n, and o may be any independently varying integers, or more specifically may each independently vary from 1 to about 100.

167. (New) The linker of claim 166, the linker having a linear or branched structure.

168. (New) The compound of claim 166, wherein the linker is selected from the group consisting of poly(ethylene glycol), carboxymethylcellulose, dextran, polyvinyl alcohol, polyvinyl pyrrolidone, poly-1,3-dioxolane, poly-1,3,6-trioxane, an amino acid homopolymer, polypropylene oxide, a copolymer of ethylene glycol/propylene glycol, an ethylene/maleic anhydride copolymer, an amino acid copolymer, amino acids, a copolymer of polyethylene glycol and an amino acid, a polypropylene oxide/ethylene oxide copolymer, and a polyethylene glycol/thiomalic acid copolymer or any combination thereof.

169. (New) The compound of claim 166, wherein the linker is linear or branched poly(ethylene glycol).

170. (New) The compound of claim 168, wherein the linker has a molecular weight of about 200 to about 200,000 Daltons.

171. (New) The compound of claim 170 , wherein the linker has a molecular weight of about 2,000 to about 50,000 Daltons.

172. (New) The linker of claim 166 comprising orthogonal functional groups, wherein the addition of the groups can be specified and controlled during manufacture to create a monodisperse product.

173. (New) The compound of claim 166 , wherein X and Y are covalently bound to the linker by a functional moiety selected from the group consisting of a ketone, an ester, a carboxylic acid, an aldehyde, an alcohol, a thiol, and an amine.

174. (New) The functional moiety of claim 173, wherein the covalent binding results in formation of reversible or irreversible bonds in compounds selected from the group consisting of ethers, schiff bases, esters, amides, disulfides, thioethers and carbamates.

175. (New) The linker of claim 166, wherein the attachment to a therapeutic or diagnostic moiety (Y) is through a reversible bond, whereby the original unmodified moiety can be released.

176. (New) The compound of claim 166, wherein the presence of the linker does not negatively affect the biochemical properties of X and/or Y.

177. (New) A method for oral delivery of a therapeutic or diagnostic agent to an animal, such as a mammal, including but not limited to a human, for the delivery of the protein or peptide for therapeutic or other purposes comprising administering to the mammal a compound of claim 166, wherein (Y) is the therapeutic or diagnostic agent.

178. (New) The compound of claim 166, wherein (Y) is the therapeutic or diagnostic agent, is a naturally occurring or artificial protein, peptide or oligonucleotide, or derivative or analogue thereof, or any other therapeutic or diagnostic chemical entity including but not

limited to an organic molecule, a secondary metabolite, hormone, toxin, radioactive compound, radio opaque compound or paramagnetic compound.

179. (New) The compound of claim 166, wherein X is selected from the group consisting of a vitamin, a sugar, a chemokine, a peptide vector or a non-peptide vector, a retro inverso peptide, a membrane fusion peptide, a lipid, a sense oligonucleotide or an antisense oligonucleotide, an enzyme, an antibody or an antibody fragment, an antigen, a hormone, an adhesion molecule, and analogues of the foregoing.

180. (New) The compound of claim 179, wherein the vitamin is selected from the group consisting of biotin, folate, pantothenate, B-6, and B-12.

181. (New) The compound of claim 179 , wherein the peptide vector or the non-peptide vector is selected from the group consisting of Tat, fMLF, Penetratin, and VEGF.

182. (New) The compound of claim 179, wherein the retro inverso peptide is RI TAT.

183. (New) The compound of claim 179 , wherein the sugar is glucose or N-acetyl glucosamine.

184. (New) The compound of claim 179, wherein the chemokine is RANTES or IL-2.

185. (New) The compound of claim 179, wherein the antibody or antibody fragment recognizes CD4 or CD44.

186. (New) The compound of claim 179 , wherein the membrane fusion peptide is gp41 or VEGF.

187. (New) The compound of claim 179 , wherein the hormone is selected from the group consisting of estrogen, progesterone, LHRH, ACTH and growth hormone.

188. (New) The compound of claim 179, wherein the adhesion molecule is selected from the group consisting of ICAM or a lectin.

189. (New) The compound of claim 179, wherein the lipid or phospholipid is stearic acid or myristic acid.

190. (New) The compound of claim 166, wherein (X) is an ordinary or a retro inverso protein or peptide.

191. (New) The compound of claim 166, wherein (X) serves as a transport-enhancing moiety that increases drug delivery into cells expressing receptors for said (X).

192. (New) The compound of claim 190, wherein (X) is selected from the group consisting of RI-TAT, TAT-biotin, and RI-TAT-biotin.

193. (New) A method for identifying a suitable compound of claim 166 for therapeutic or diagnostic use without the components thereof negatively affecting the biological activity of Y, the method comprising preparing a compound as described in claim 166 and screening the compound for biological activity of the therapeutic or diagnostic agent portion of the compound.